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Has received an application for a patent for a new and useful invention. The title and description of the invention are enclosed. The requirements of law have been complied with, and it has been determined that a patent on the invention shall be granted under the law.

Therefore, this

United States Patent

Grants to the person(s) having title to this patent the right to exclude others from making, using, offering for sale, or selling the invention throughout the United States of America or importing the invention into the United States of America for the term set forth below, subject to the payment of maintenance fees as provided by law.

If this application was filed prior to June 8, 1995, the term of this patent is the longer of seventeen years from the date of grant of this patent or twenty years from the earliest effective U.S. filing date of the application, subject to any statutory extension.

If this application was filed on or after June 8, 1995, the term of this patent is twenty years from the U.S. filing date, subject to any statutory extension. If the application contains a specific reference to an earlier filed application or applications under 35 U.S.C. 120, 121 or 365(c), the term of the patent is twenty years from the date on which the earliest application was filed, subject to any statutory extension.

Bence Lehman

Commissioner of Patents and Trademarks

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Attest



US005658911A

United States Patent [19]**Strupczewski et al.**[11] **Patent Number:** **5,658,911**[45] **Date of Patent:** **Aug. 19, 1997**[54] **HETEROARYLPIPERIDINES, AND THEIR USE AS ANTIPSYCHOTICS AND ANALGETICS**[75] **Inventors:** Joseph T. Strupczewski, Flemington, N.J.; Kenneth J. Bordeau, Upper Black Eddy, Pa.; Edward J. Glamkowski, Warren, N.J.; Yulin Chiang, Convent Station, N.J.; Grover C. Helsley, Stockton, N.J.[73] **Assignee:** Hoechst Marion Roussel, Inc., Kansas City, Mo.[21] **Appl. No.:** 309,395[22] **Filed:** Sep. 20, 1994**Related U.S. Application Data**

[63] Continuation of Ser. No. 969,383, Oct. 30, 1992, Pat. No. 5,364,866, which is a continuation-in-part of Ser. No. 788,269, Nov. 5, 1991, abandoned, which is a continuation-in-part of Ser. No. 944,705, Sep. 5, 1991, abandoned, which is a continuation of Ser. No. 619,825, Nov. 29, 1990, abandoned, which is a continuation of Ser. No. 456,790, Dec. 29, 1989, abandoned, which is a continuation-in-part of Ser. No. 354,411, May 19, 1989, abandoned.

[51] **Int. Cl.⁶** **A61K 31/495; A61K 31/55; A61K 31/505; A61K 31/44; C07D 401/04; C07D 403/04; C07D 417/04; C07D 419/04; C07D 221/04; C07D 275/04; C07D 239/02**[52] **U.S. Cl.** **514/254; 514/217; 514/233.8; 514/234.5; 514/258; 514/249; 514/269; 514/274; 514/296; 514/300; 514/301; 514/307; 514/309; 514/311; 514/312; 514/314; 514/321; 514/322; 514/373; 514/379; 514/403; 514/411; 540/576; 540/588; 544/121; 544/129; 544/132; 544/135; 544/137; 544/279; 544/295; 544/333; 544/353; 544/361; 544/362; 544/366; 544/364; 544/368; 544/316; 544/317; 544/318; 544/367; 544/371; 546/98; 546/99; 546/100; 546/113; 546/122; 546/135; 546/141; 546/142; 546/143; 546/144; 546/146; 546/148; 546/149; 546/153; 546/155; 546/156; 546/157; 546/158; 546/183; 546/198; 546/199; 546/270; 546/271; 548/207; 548/209; 548/110; 548/219; 548/221; 548/241; 548/361.1; 548/363.1; 548/362.5**[58] **Field of Search** 514/254; 544/360, 544/366, 368[56] **References Cited****U.S. PATENT DOCUMENTS**

3,950,527	4/1976	Derible et al.	514/323
4,355,037	10/1982	Strupczewski et al.	546/198
4,458,076	7/1984	Strupczewski	546/199
4,590,196	5/1986	Smith et al.	514/253
4,670,447	6/1987	Strupczewski	514/322
4,780,466	10/1988	Hrib et al.	514/254
4,937,249	6/1990	Antoku et al.	514/322
4,954,503	9/1990	Strupczewski et al.	514/254
4,968,792	11/1990	Stack et al.	540/524
4,999,356	3/1991	Strupczewski	514/254
5,001,134	3/1991	Ferrand et al.	514/324

FOREIGN PATENT DOCUMENTS

2 503 816	7/1975	Denmark .
0 013 612	7/1980	European Pat. Off. .
0 135 781	4/1985	European Pat. Off. .
0 196 096	10/1986	European Pat. Off. .
0 261 688	3/1988	European Pat. Off. .
0 314 098	5/1989	European Pat. Off. .
0 329 168	8/1989	European Pat. Off. .
0 353 821	2/1990	European Pat. Off. .
0 398 425	11/1990	European Pat. Off. .
0 402 644	12/1990	European Pat. Off. .
0 464 846	1/1992	European Pat. Off. .
2 163 432	2/1986	United Kingdom .
WO 93/16073	8/1993	WIPO .

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Heteroaryl piperidines, pyrrolidines, and piperazines are useful as antipsychotic and analgesic agents. The compounds are especially useful for treating psychoses by administering to a mammal a psychoses-treating effective amount of one of the compounds. The compounds are also useful as analgesics by administering a pain-relieving effective amount of one of the compounds to a mammal.

45 Claims, No Drawings